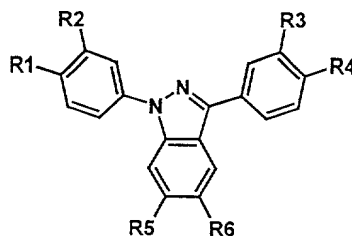


Abstract

The invention relates to new fluorescent dyes of formula (I)



(Formula (I))

which can be used in high throughput screening both, on the solid phase as well as in homogeneous solution. The new fluorescent dyes generically referred to as AIDA chemistry is suitable for various methods of solid phase and solution phase organic chemistry for synthesis of molecules to be investigated for therapeutic use in disease states. The molecules of therapeutic interest can be synthesized as fluorescent conjugates by two methods: (a) a solid support is loaded with a cleavable linker (acid-, base-, redox- or light sensitive) to which initially the fluorescent dye is attached. The dyes possess a second functionality, which serves as attachment point for spacer elements. The spacer bears a further functional group which is used as starting point of the synthesis of the molecules to be investigated; (b) the fluorescent dye can also be introduced as end-cap in the last synthesis step of a reaction sequence.

The dyes described in the invention are chemically stable under a broad range of reaction conditions usually applied in solid phase and solution phase organic chemistry. The conjugates emit fluorescence in the visible and UV-spectral range on excitation at wavelengths of their absorption. These fluorescence properties allow for multiple applications in fluorescence based processes for the identification of inhibitors of molecular interactions and for the identification of molecules which bind to target macromolecules like peptides proteins, nucleic acids, carbohydrates etc.

The fluorescence detection technologies used for monitoring binding of AIDA-conjugated compounds to macromolecules include conventional macroscopic techniques (ensemble averaging) which detect changes in fluorescence intensity, anisotropy(polarization), fluorescence resonance energy transfer, fluorescence lifetime, rotational correlation time as well as one- and twodimensional single molecule spectroscopic techniques (SMS).

Uses of the dye include solid phase and solution phase organic chemistry, low molecular weight compound labelling, peptide labelling, protein labelling, optical spectroscopy and fluorescence. Synthesis of functionalized dyes and of dye conjugates (on solid support and in solution) are disclosed.